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L5: Entry 184 of 289 File: USPT May 18, 1993

DOCUMENT-IDENTIFIER: US 5211957 A
TITLE: Solid rapidly disintegrating dosage form

ABPL:
The invention relates to a solid, rapidly disintegrating dosage form in the form of effervescent tablets for producing an aqueous suspension of diclofenac for peroral administration. The dosage form contains diclofenac in micronised form provided with a permeable, swellable coating, together with pharmaceutical excipients.

BSPR:
Up to now, no similar effervescent formulation, and also no other rapidly disintegrating formulation such as a powder or granules, has been available for the NSAID diclofenac and for its salts because, when such a dosage form disintegrates, the active drug cannot be converted into the therapeutically suitable form of a water-soluble salt of neutral taste. Usually the bitter taste of the active drug renders such formulations unsuitable.

BSPR:
This object is achieved by means of the present invention, which relates to a solid, rapidly disintegrating dosage form in the form of effervescent tablets for producing an aqueous suspension which is suitable for peroral administration and contains micronised diclofenac provided with a swellable coating which is permeable to water, or a correspondingly coated pharmaceutically acceptable salt of diclofenac, together with pharmaceutically acceptable excipients.

BSPR:
Preferred polyacrylates are obtainable under the registered trademark EUDRAGIT from Rohm Pharma, Weiterstadt, Federal Republic of Germany. Especially preferred are EUDRAGIT commercial forms for rapidly disintegrating film coatings, for example swellable permeable types based on acrylate/methacrylate copolymers, especially an ethyl acrylate/methyl methacrylate copolymer, preferably having an average molecular weight of 800 000, for example EUDRAGIT NE 30 D, or types that are soluble in gastric fluid such as EUDRAGIT E. When using types that resist solution in gastric fluid, such as EUDRAGIT L or S a delayed release can be achieved.

DETL:
_____ Effervescent tablets of diclofenac (50 mg) _____ diclofenac 50 mg galactomannan (Meyprogat .RTM. 150) 32 mg colloidal silica (Aerosil .RTM. 200) 1 mg Eudragit .RTM. NE 30 D, solid 7 mg polyethylene glycol 8000 50 mg sodium bicarbonate 825 mg citric acid, anhydrous 1160 mg galactomannan (Meyprogat .RTM. 150) 75 mg microcrystalline cellulose (Avicel .RTM. PH 102) 200 mg 2400 mg

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DETL:
_____ Effervescent tablets of diclofenac (50 mg) _____ diclofenac 50 mg galactomannan (Meyprogat .RTM. 150) 32 mg colloidal silica (Aerosil .RTM. 200) 1 mg Eudragit .RTM. NE 30 D, solid 7 mg polyethylene glycol 8000 50 mg sodium bicarbonate 855 mg citric acid, anhydrous 1205 mg microcrystalline cellulose (Avicel .RTM. PH 102) 200 mg 2400 mg _____

DETL:

Effervescent tablets of diclofenac (50 mg) diclofenac 50 mg galactomannan (Meyprogat .RTM. 150) 32 mg colloidal silica (Aerosil .RTM. 200) 1 mg Eudragit .RTM. NE 30 D, solid 25 mg polyethylene glycol 8000 50 mg sodium bicarbonate 825 mg citric acid, anhydrous 1142 mg galactomannan (Meyprogat .RTM. 150) 75 mg microcrystalline cellulose (Avicel .RTM. PH 102) 200 mg 2400 mg

DETL:

Effervescent tablets of diclofenac (50 mg) diclofenac 50 mg galactomannan (Meyprogat .RTM. 150) 33 mg colloidal silica (Aerosil .RTM. 200) 1 mg Aquacoat .RTM. ECD, solid 20 mg polyethylene glycol 8000 50 mg sodium bicarbonate 850 mg citric acid, anhydrous 1196 mg microcrystalline cellulose (Avicel .RTM. PH 102) 200 mg 2400 mg

DETL:

Effervescent tablets of diclofenac (50 mg) diclofenac 50 mg galactomannan (Meyprogat .RTM. 150) 36.7 mg colloidal silica (Aerosil .RTM. 200) 1.1 mg Cellulose HPM-603 (Pharmacoat .RTM.) 5.5 mg polyethylene glycol 8000 50 mg sodium bicarbonate 850 mg citric acid, anhydrous 1206.7 mg microcrystalline cellulose (Avicel .RTM. PH 102) 200 mg 2400 mg

DETL:

Effervescent tablets of diclofenac (50 mg) diclofenac 50 mg galactomannan (Meyprogat .RTM. 150) 35 mg colloidal silica (Aerosil .RTM. 200) 1 mg Eudragit .RTM. NE 30 D 50 mg polyethylene glycol 8000 50 mg sodium bicarbonate 830 mg citric acid, anhydrous 1184 mg microcrystalline cellulose (Avicel .RTM. PH 102) 200 mg 2400 mg

DETL:

Effervescent tablets of diclofenac (50 mg) diclofenac 46.5 mg galactomannan (Meyprogat .RTM. 150) 37 mg colloidal silica (Aerosil .RTM. 200) 1 mg polyvinylpyrrolidone K 30 10 mg polysorbat 80 0.8 mg polyethylene glycol 8000 powder 60 mg sodium bicarbonate 700 mg citric acid, anhydrous 1344.7 mg malbitol 200 mg 2400 mg

CLPR:

1. A solid, rapidly disintegrating dosage form of an effervescent tablet for producing an aqueous suspension for peroral administration, said dosage form comprises fine particles of micronized diclofenac having an average particle size smaller than 200 m.mu., said particles individually coated with a coating material selected from the group consisting of polyvinylpyrrolidone, a lower alkyl ether of cellulose, and a permeable, swellable acrylate/methacrylate copolymer, or a correspondingly coated pharmaceutically acceptable salt of diclofenac, together with excipients suitable for solid effervescent formulations, suspending aids, and further optional pharmaceutical excipients.